* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

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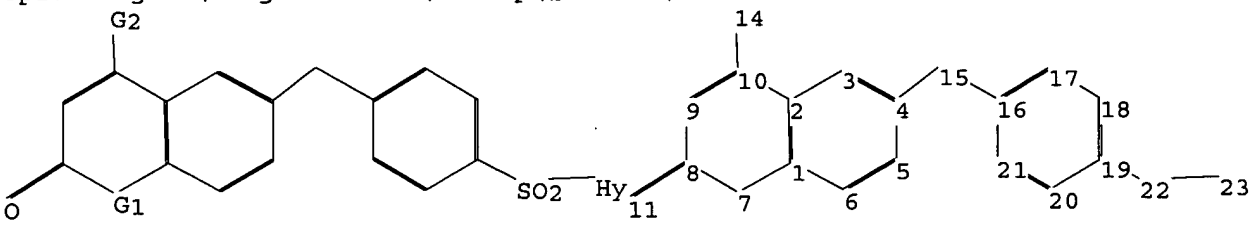

chain nodes :
11 14 15 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10 16 17 18 19 20 21
chain bonds :
4-15 8-11 10-14 15-16 19-22 22-23
ring bonds :
1-2 1-6 1-7 2-3 2-10 3-4 4-5 5-6 7-8 8-9 9-10 16-17 16-21 17-18 18-19
19-20 20-21
exact/norm bonds :
1-7 2-10 4-15 7-8 8-9 8-11 9-10 10-14 15-16 19-22 22-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21
isolated ring systems :
containing 1 :

G1:O,N

G2:C,H,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:CLASS 23:Atom

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 full
L2            65 SEA SSS FUL L1

=> file ca


=> s l2
L3             1 L2

=> d ibib abs fhitstr
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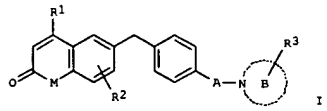
L3 ANSWER 1 OF 1 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:174085 CA
TITLE: Preparation of a new class of 6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-chromene derivatives as androgen receptor antagonists
INVENTOR(S): Du, Daniel Yunlong; Fyfe, Matthew Colin Thor; Procter, Martin James; Schofield, Karen Lesley; Shah, Vilasben Kanji; Williams, Geoffrey Martyn
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065539	A2	20040805	WO 2004-IB117	20040108
WO 2004065539	A3	20050428		
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
US 2005085466	A1	20050421	US 2004-758581	20040115
PRIORITY APPLN. INFO.:			US 2003-441050P	P 20030117

OTHER SOURCE(S): MARPAT 141:174085
GI



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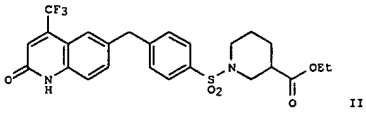


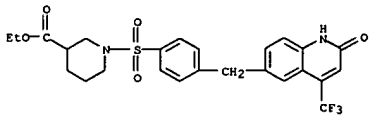
II

L3 ANSWER 1 OF 1 CA COPYRIGHT 2005 ACS on STN (Continued)

AB The title compds. (I; M = NZ, O; Z = H, alkyl; R1 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; R2 = absent, halo, CN, OH, alkoxy, etc.; A = SO2; R3 = absent, halo, OH, CN, alkoxy, etc.; B = nitrogen containing heterocyclic ring], useful as androgen antagonists, and to relieve conditions associated with inappropriate activation of the androgen receptor, were prepared The exemplified compds. I (such as II) were prepared by solution phase parallel synthesis and tested for AR antagonistic activity. In human breast cancer tumor cell, e.g., MDA-MB-453-MMTV clone 54-19, inhibition studies, 65-examples of compds. I exhibited IC50 values ranging from 0.52- >10 μM. Compds. I are claimed useful for the treatment of conditions associated with inappropriate activation of the androgen receptor, e.g., acne, alopecia and oily skin.

IT 733811-66-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-chromene derivs. as androgen receptor antagonists)

RN 733811-66-0 CA
CN 3-Piperidinecarboxylic acid, 1-[[4-[[1,2-dihydro-2-oxo-4-(trifluoromethyl)-6-quinolinyl]methyl]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



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L4             3 SEA SSS FUL L1

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L4 ANSWER 1 OF 3 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 141:174085 MARPAT
TITLE: Preparation of a new class of 6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-chromene derivatives as androgen receptor antagonists
INVENTOR(S): Du, Daniel Yunlong; Fyfe, Matthew Colin Thor; Procter, Martin James; Schofield, Karen Lesley; Shah, Vilasben Kanji; Williams, Geoffrey Martyn
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004065539	A2	20040805	WO 2004-IB117	20040108
WO 2004065539	A3	20050428		
W: AE, AE, AG, AL, AL, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
US 20050085466	A1	20050421	US 2004-758581	20040115
PRIORITY APPLN. INFO.:			US 2003-441050P	20030117

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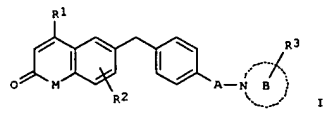

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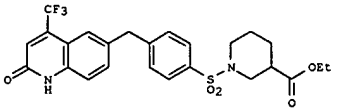
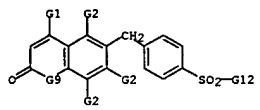


II

L4 ANSWER 1 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

AB The title compds. [I; M = NZ, O; Z = H, alkyl; R1 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; R2 = absent, halo, CN, OH, alkoxy, etc.; A = SO2; R3 = absent, halo, OH, CN, alkoxy, etc.; B = nitrogen containing heterocyclic ring], useful as androgen antagonists, and to relieve conditions associated with inappropriate activation of the androgen receptor, were prepared The exemplified compds. I (such as II) were prepared by solution phase parallel synthesis and tested for AR antagonistic activity. In human breast cancer tumor cell, e.g., MDA-MB-453-MMTV clone 54-19, inhibition studies, 65-examples of compds. I exhibited IC50 values ranging from 0.52- >10 μM. Compds. I are claimed useful for the treatment of conditions associated with inappropriate activation of the androgen receptor, e.g., acne, alopecia and oily skin.

MSTR 1



G1 = Me
G9 = O
G12 = piperidino
Patent location: claim 1
Note: and pharmaceutically acceptable salts, solvates, and prodrugs

L4 ANSWER 2 OF 3 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:42210 MARPAT
TITLE: Preparation of 1-sulfonyl-2-piperazinehydroxamic acids as selective inhibitors of human ADAM-10 for treating cancer, arthritis and diseases related to angiogenesis
INVENTOR(S): Bannen, Lynne Canne; Co, Erick W.; Jammalamadaka, Vasu; Nuss, John M.; Kim, Moon Hwan; Le Tra, Donna; Lew, Amy; Mac, Morrison B.; Mamo, Shumeye; Wen, Zhaoyang; Xu, Wei
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106381	A2	20031224	WO 2003-US18262	20030611
WO 2003106381	A3	20040415		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2485346	AA	20031224	CA 2003-2485346	20030611
EP 1511488	A2	20050309	EP 2003-736979	20030611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-388326P	20020612
			WO 2003-US18262	20030611

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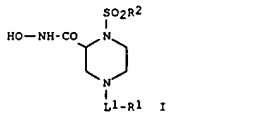
L4 ANSWER 2 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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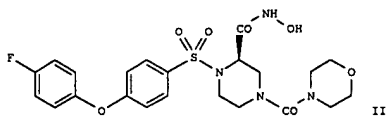
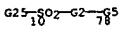


II

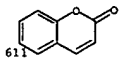
AB The present invention provides 1-sulfonyl-2-piperazinehydroxamic acids (shown as I; variables defined below; e.g. II) useful for inhibiting the ADAM-10 protein, with selectivity vs. MMP-1. Inhibition activities of 66 examples of I towards ≤8 metalloproteinases are tabulated. Such compds. are useful in the in vitro study of the role of ADAM-10 (and its inhibition) in biol. processes. The present invention also comprises pharmaceutical compns. comprising ≥1 ADAM-10 inhibitors according to the invention in combination with a pharmaceutically acceptable carrier. Such compns. are useful for the treatment of cancer, arthritis, and diseases related to angiogenesis. Correspondingly, the invention also comprises methods of treating forms of cancer, arthritis, and diseases related to angiogenesis in which ADAM-10 plays a critical role. A method of preparation of sulfonyl halide intermediates is claimed. For example, [4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl chloride was prepared in 3 steps (105, 98 and 83 % yields) starting from 3,4,5-trifluoronitrobenzene, 4-fluorophenol, and Cs2CO3 in DMF and involving intermediates 4-(4-fluorophenoxy)-3,5-difluoronitrobenzene and 4-(4-fluorophenoxy)-3,5-difluoroaniline. The prepared [4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl chloride was used in a 5-step procedure (65, 78, -, 69 and 62 % yields) to give II involving intermediates (R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]-4-boc-piperazine-2-carboxylic acid, Me (R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]-4-boc-piperazine-2-carboxylate, Me (R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]piperazine-2-carboxylate trifluoroacetate and Me (R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]-4-(ethoxycarbonyl)piperazine-2-carboxylate. Although the methods of preparation of I are not claimed, several example prepns. and characterization data for 66 examples of I are included. For I: L1 is -C(O)-, -S(O)2-, or -(CH2)n-; R1 is -H, -OR11, -(CH2)nR11, -C(O)R11, or -NR12R13; R2 is -R21-L2-R22 (R21 is saturated or mono- or poly- unsatd. C5-C14-mono- or fused poly- cyclic hydrocarbyl, optionally containing

L4 ANSWER 2 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
one or two annular heteroatoms per ring and (un)substituted with 1-3 R50 substituents; L2 is -O-, -C(O)-, -CH2-, -NH-, -SO2- or a direct bond; R22 is satd. or mono- or poly- unsatd. C5-C14-mono- or fused polycyclic hydrocarbyl, optionally contg. one or two annular heteroatoms per ring and
(un)substituted with 1-3 R50 substituents); n = 0-3; provided that an O or
S is not singly bonded to another O or S in a chain of atoms; addnl. details are given in the claims.

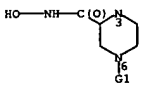
MSTR 1



G3 = phenylene (opt. substd. by G6)
G4 = C(O)
G5 = 611



G25 = 3



Patent location: claim 1
Note: also incorporates claim 45
Note: and pharmaceutically acceptable salts, esters, amides, and prodrugs

L4 ANSWER 3 OF 3 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:142750 MARPAT
TITLE: Polyarylcarbamoylaza- and -carbamoylalkanedioic acids as squalene synthase inhibitors
INVENTOR(S): Paula, Henry W.; Choi, Yong-Mi; Studt, Robert W.; Maguire, Martin P.; Spada, Alfred P.; Cha, Don D.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9618615	A1	19960620	WO 1995-US15364	19951129
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5556990	A	19960917	US 1994-357481	19941216
CA 2207429	AA	19960620	CA 1995-2207429	19951129
AU 9643698	A1	19960703	AU 1996-43698	19951129
AU 695852	B2	19980827		
EP 801644	A1	19971022	EP 1995-942489	19951129
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JP 10511084	T2	19981027	JP 1995-518973	19951129

PRIORITY APPLN. INFO.: US 1994-357481 19941216
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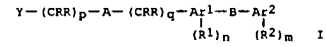

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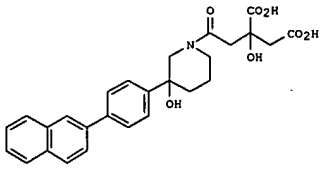


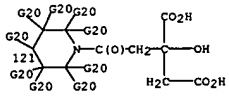
II

L4 ANSWER 3 OF 3 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

AB This invention relates to a class of novel dicarboxy amide derivs. of lipophilic amines I wherein: A is O, S, NR, SO, SO2, or a bond; B is (CRR)1-2, O, S, NR, SO, SO2, RC:CR, C.tplbond.C, CO, or a bond; Y is, e.g., RNZ(CRR)dCRR, N-Z-piperidyl, where Z is COWCR7[(CR3R4)fCO2R][(CR5R6)gCO2R]; W is a bond, (CRR)h, or NR; R = H, alkyl; R1, R2 are independently H, alkyl, alkoxy, OH, halo, haloalkyl, Ph;
R3-R6 are independently H, alkyl; R7 is H, NRR, or OH and when W is (CRR)h
then R7 is OH; one of R3-R7 is OH; Ar1 and Ar2 are independently a mono- or diaryl or heteroaryl; p and q are independently 0-3; p + q is 0-4; d is
0-3; p + q + d is 1-3; f is 0-2; g is 0-2; h is 1-2; m and n are independently 0-2; which exhibit squalene synthase inhibition properties. Compds. of this invention reduce levels of serum cholesterol in the body without significantly reducing mevalonic metabolite synthesis. This invention relates also to pharmacol. compns. and method of treatment for lowering serum cholesterol levels using the compds. of this invention. Thus, e.g., coupling of prepared intermediates 3-hydroxy-3-(4-naphth-2-ylphenyl)piperidine with 3-hydroxy-3,4-bis(ethoxycarbonyl)butanoic acid afforded the diester intermediate which was hydrolyzed to the diaryl carbamoyl alkanedioic acid II which exhibited inhibition of squalene synthase with IC50 = 27 nM.

MSTR 1A

G10 = SO2
G12 = OH
G15 = 121



G16 = phenylene (opt. substd. by (1-2) G12)
G17 = C(O)
G18 = quinolinyl (opt. substd. by (1-2) G12)
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Stereochemistry: stereoisomers, enantiomers, diastereoisomers, and racemic mixtures

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=> s l2
L5            0 L2

=> d his

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L3             1 S L2

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L4             3 S L1 FULL

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L5             0 S L2

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---Logging off of STN---


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Executing the logoff script...


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STN INTERNATIONAL LOGOFF AT 09:37:50 ON 13 SEP 2005
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